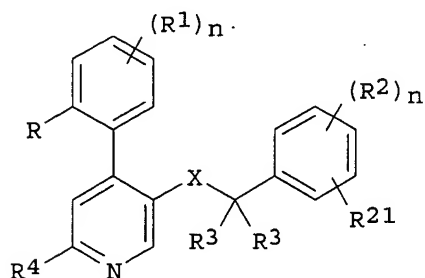


L29 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:832668 CAPLUS  
 DOCUMENT NUMBER: 137:337901  
 TITLE: Preparation and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia  
 INVENTOR(S): Buser, Susanne; Ford, Anthony P. D. W.; Hoffmann, Torsten; Lenz, Barbara; Sleight, Andrew John; Vankan, Pierre  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085458	A2	20021031	WO 2002-EP1085	20020202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003004157	A1	20030102	US 2002-71570	20020208
PRIORITY APPLN. INFO.:			EP 2001-109853	A 20010423
OTHER SOURCE(S):		MARPAT 137:337901		

GI



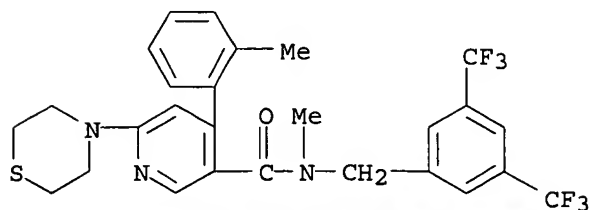
AB Use of an NK-1 receptor antagonist for the treatment or prevention of benign prostatic hyperplasia (BPH) is claimed. The preferred NK-1 receptor antagonists are compds. of the general formula [I; R = H, alkyl, alkoxy, halo, CF<sub>3</sub>; R<sub>1</sub> = H, halo; RR<sub>1</sub> = CH:CHCH:CH; R<sub>2</sub>, R<sub>21</sub> = H, halo, CF<sub>3</sub>, alkyl, alkoxy, cyano; R<sub>2</sub>R<sub>21</sub> = CH:CHCH:CH, optionally substituted by 1-2 alkyl, halo, alkoxy; R<sub>3</sub> = H, alkyl; R<sub>3</sub>R<sub>3</sub>C = cycloalkyl; R<sub>4</sub> = H, N(R<sub>5</sub>)<sub>2</sub>, NR<sub>5</sub>(CH<sub>2</sub>)nOH, cyclic tertiary amine, etc.; X = CONR<sub>5</sub>, (CH<sub>2</sub>)<sub>p</sub>O, NR<sub>5</sub>(CH<sub>2</sub>)<sub>p</sub>, etc.; R<sub>5</sub> = H, cycloalkyl, Ph, PhCH<sub>2</sub>, alkyl; n = 0-4; p = 1-3]. Preferred compds. are 2-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-(6-morpholin-4-yl-4-o-tolyl-pyridin-3-yl)isobutyramide, 3-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-[6-(4-methyl-piperazin-1-yl)-4-o-tolyl-pyridin-3-yl]isobutyramide, 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.λ<sup>6</sup>-thiomorpholin-4-yl)-4-o-tolyl-pyridin-3-yl]-N-methylisobutyramide, and 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-1.λ<sup>6</sup>-thiomorpholin-4-yl)-4-(4-fluoro-2-methyl-phenyl)-pyridin-3-yl]-N-methylisobutyramide. Thus, 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-thiomorpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide (prepn. given)

oxone were stirred 2 days at room temp. to give 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-o-tolylpyridin-3-yl]-N-methylisobutyramide. 2-(3,5-Bistrifluoromethylphenyl)-N-methyl-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide at 60 mg/kg/day orally in dogs reduced prostate wt. by 58% after 39 wk.

- IT Tachykinin receptors  
(NK1 antagonists; prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)
- IT Prostate gland, disease  
(benign hyperplasia, treatment; prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)
- IT Human  
(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)
- IT **474026-03-4P 474026-04-5P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)
- IT 66619-84-9, WIN-41908 91224-37-2, Spantide 125989-12-0, L-659877  
126088-92-4, R 544 129176-97-2, Spantide II 129623-01-4, GR 82334  
132041-95-3, R486 132746-60-2, CP-96345 135911-02-3, RP-67580  
136982-36-0, CP-99994 137012-28-3, L 668169 138449-07-7, FK 888  
141636-65-9, GR 94800 142001-63-6, SR-48968 144177-32-2, WIN-62577  
144425-84-3, L 703606 145742-28-5, CP-122721 148451-96-1, L 732138  
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290297-01-7 290297-06-2 290297-18-6  
290297-26-6 290297-30-2 290297-57-3  
290297-58-4 290297-59-5 290297-61-9  
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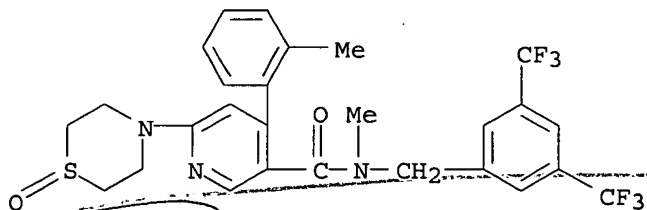
RN 290296-90-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



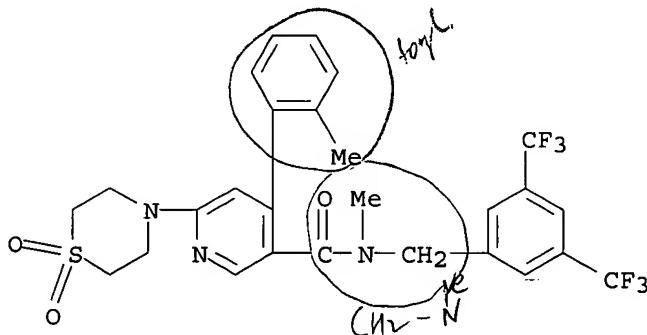
RN 290296-91-2 CAPLUS

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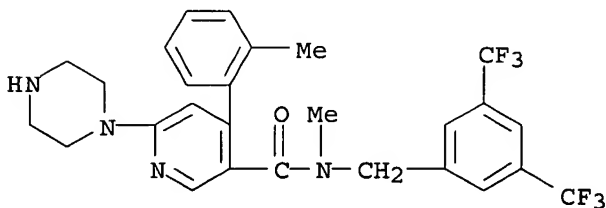
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CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1,1-dioxido-4-thiomorpholinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



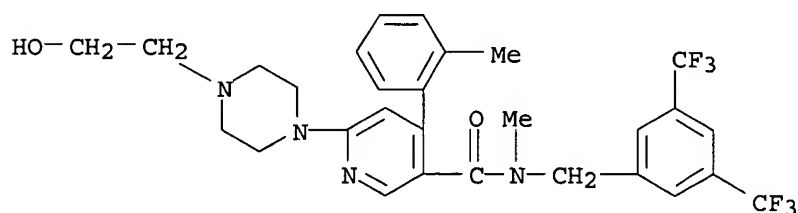
RN 290296-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)



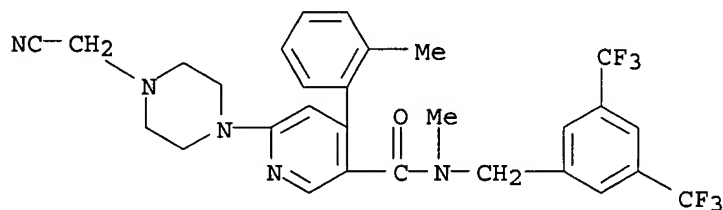
RN 290296-94-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2-hydroxyethyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



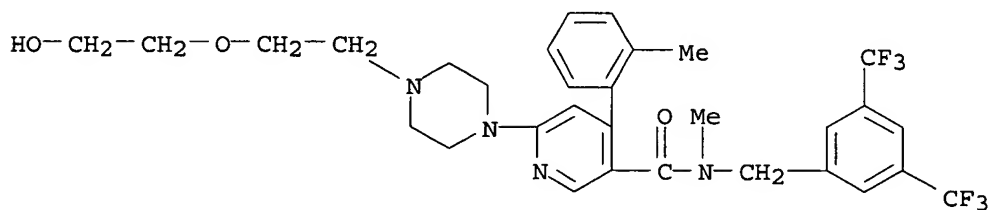
RN 290296-95-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(cyanomethyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



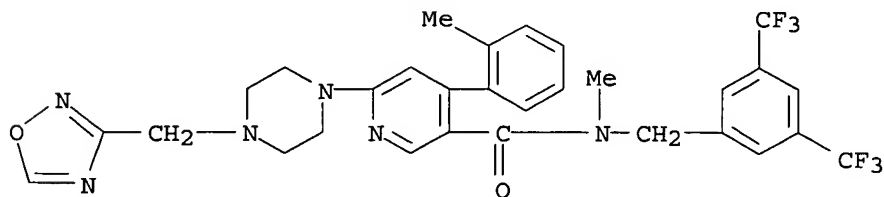
RN 290296-96-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



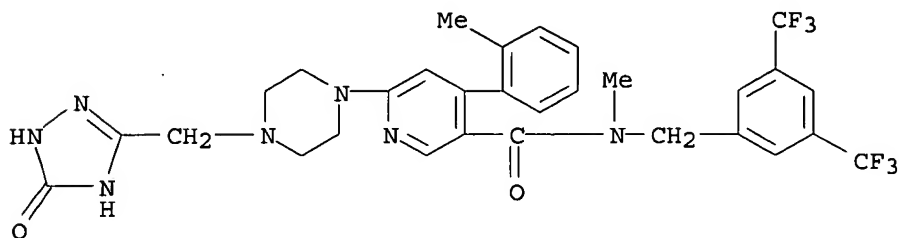
RN 290296-98-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-[4-(1,2,4-oxadiazol-3-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

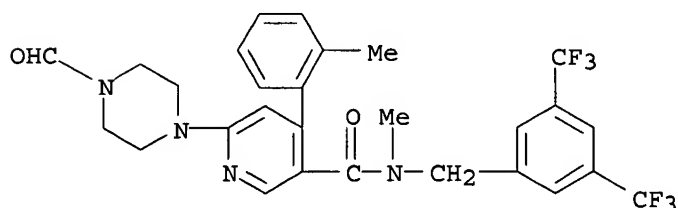


RN 290296-99-0 CAPLUS

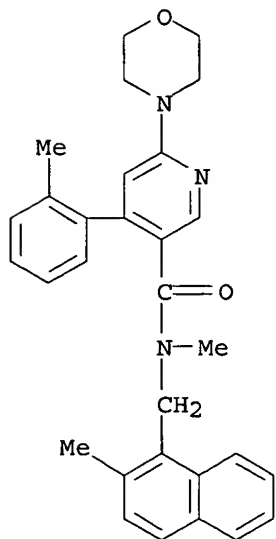
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-  
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 4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



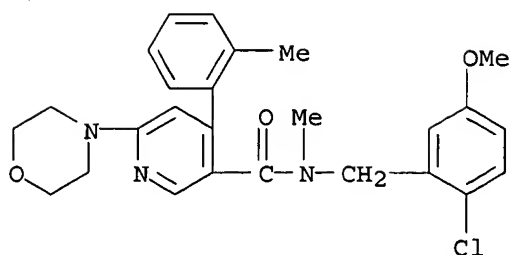
RN 290297-00-6 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-  
 formyl-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-01-7 CAPLUS  
 CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-  
 methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

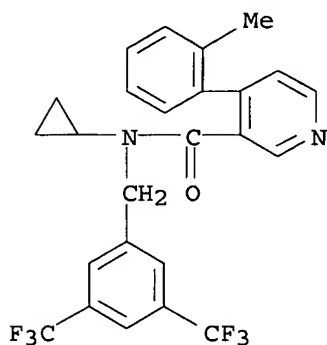


RN 290297-06-2 CAPLUS  
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 methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



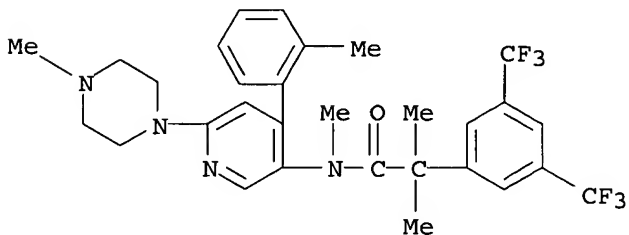
RN 290297-18-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-cyclopropyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



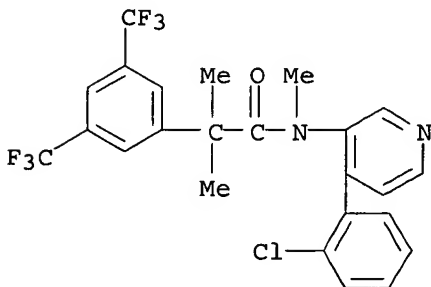
RN 290297-26-6 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



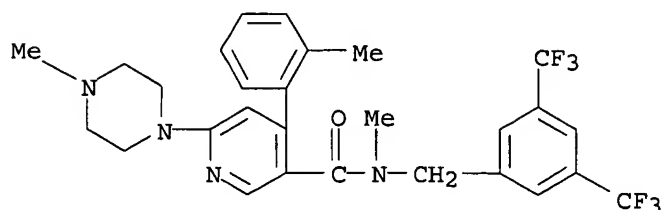
RN 290297-30-2 CAPLUS

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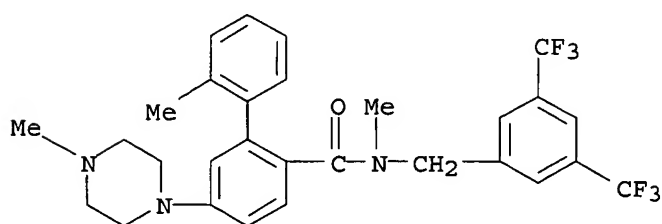
RN 290297-57-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



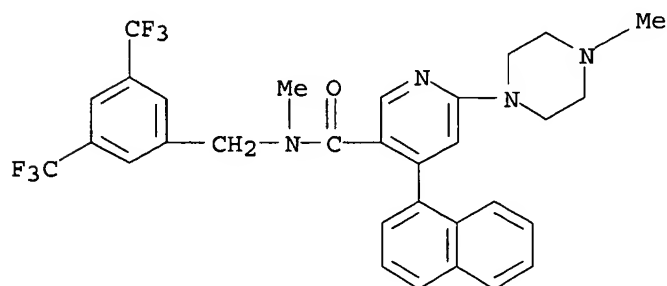
RN 290297-58-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N,2'-dimethyl-5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



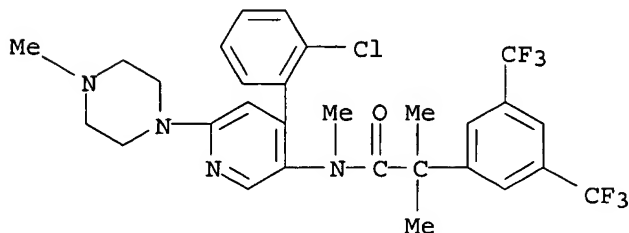
RN 290297-59-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-6-(4-methyl-1-piperazinyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 290297-61-9 CAPLUS

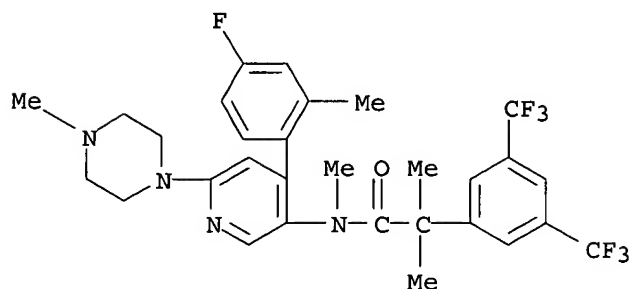
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-62-0 CAPLUS

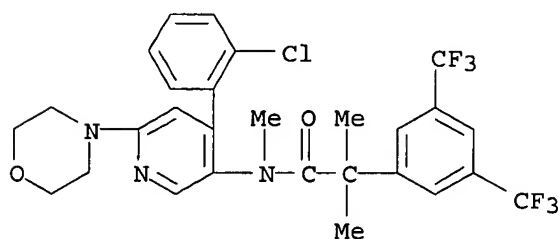
CN Benzeneacetamide, N-[4-(4-fluoro-2-methylphenyl)-6-(4-methyl-1-

piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-65-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



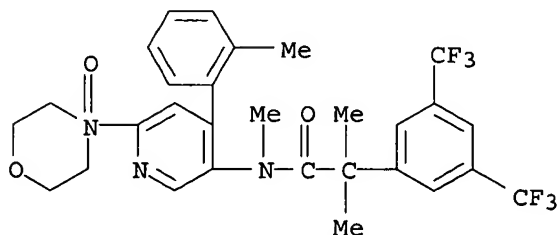
RN 351383-26-1 CAPLUS

CN GR 103537 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 391674-73-0 CAPLUS

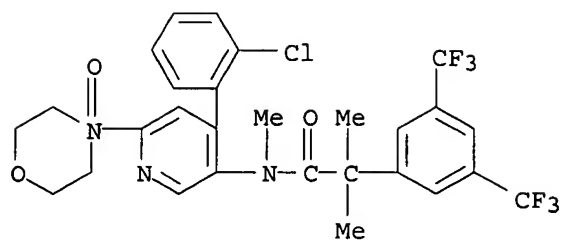
CN Benzeneacetamide, N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-74-1 CAPLUS

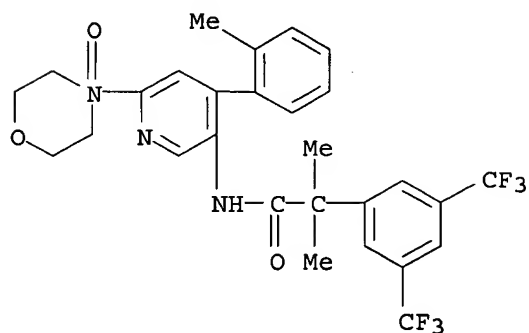
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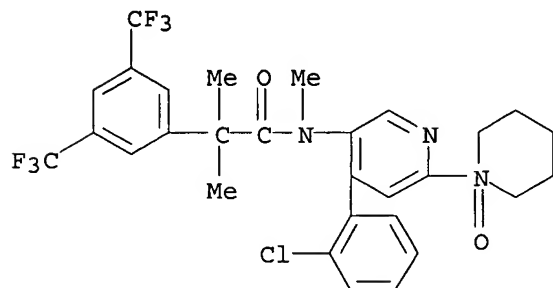
RN 391674-75-2 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



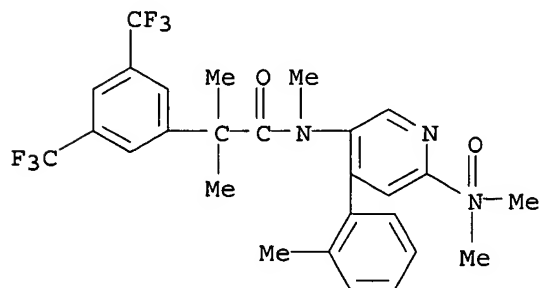
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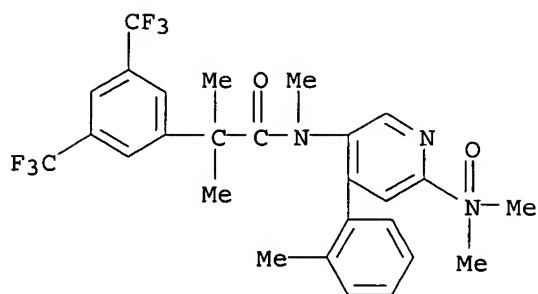
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(1-oxido-1-piperidinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



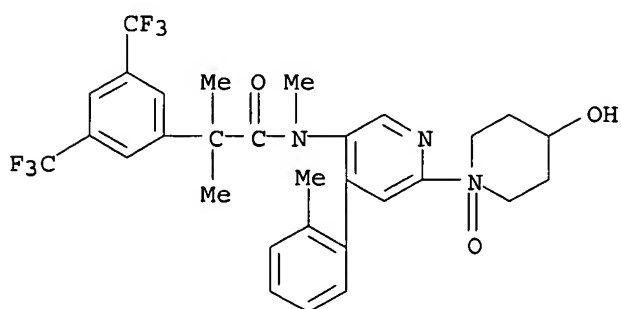
RN 391674-77-4 CAPLUS

CN Benzeneacetamide, N-[6-(dimethyloxidoamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

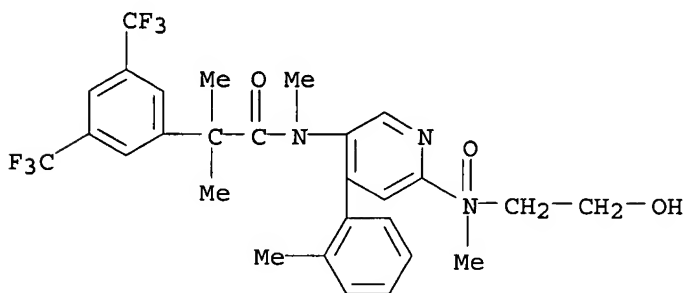




RN 391674-79-6 CAPLUS  
 CN Benzeneacetamide, N-[6-(4-hydroxy-1-oxido-1-piperidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

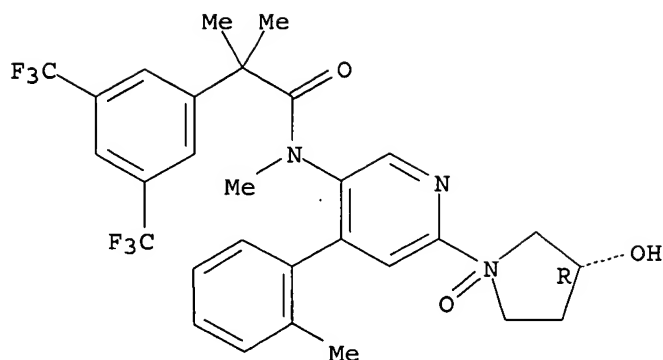


RN 391674-80-9 CAPLUS  
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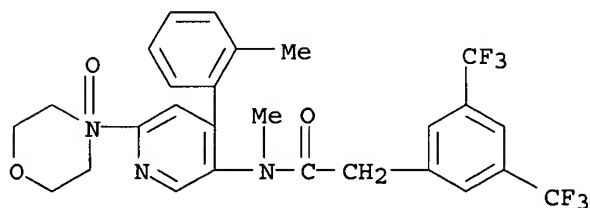
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Absolute stereochemistry.



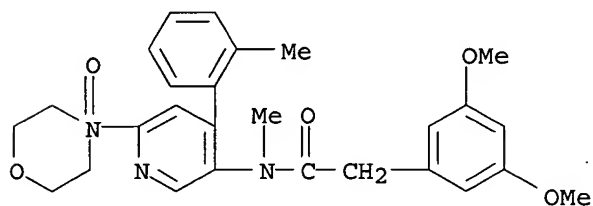
RN 391674-82-1 CAPLUS

CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



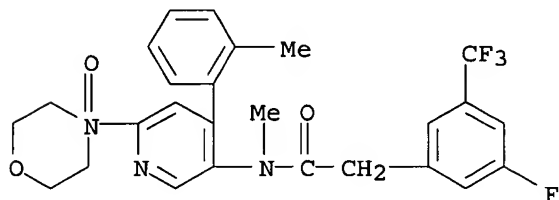
RN 391674-83-2 CAPLUS

CN Benzeneacetamide, 3,5-dimethoxy-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



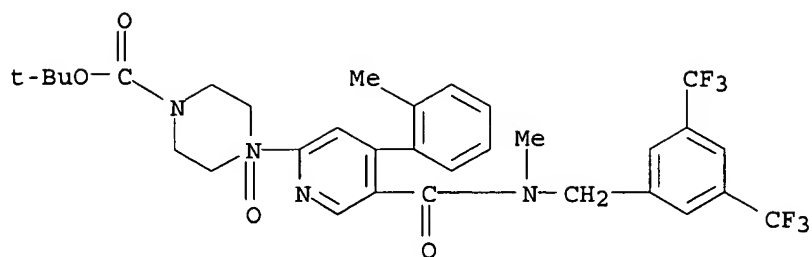
RN 391674-84-3 CAPLUS

CN Benzeneacetamide, 3-fluoro-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



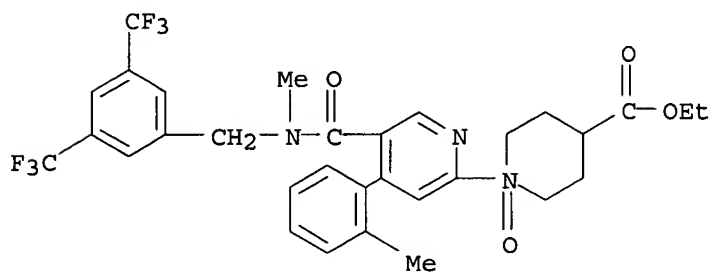
RN 391674-85-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1,1-dimethylethyl ester, 4-oxide (9CI) (CA INDEX NAME)



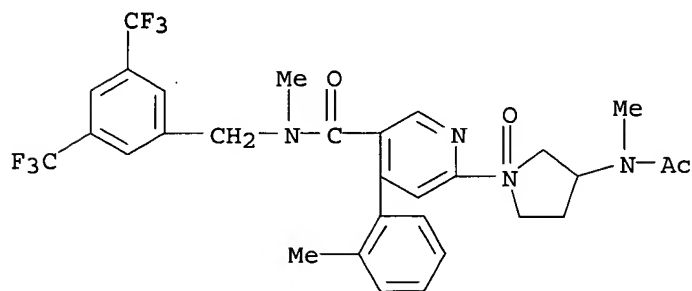
RN 391674-86-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)



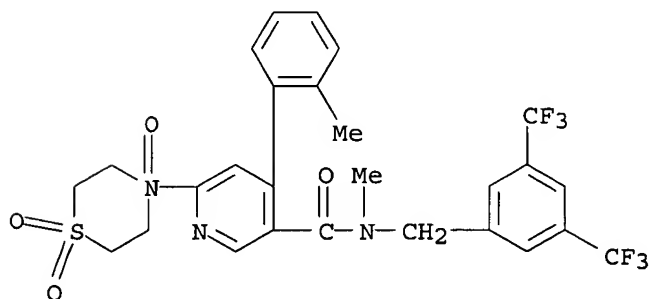
RN 391674-87-6 CAPLUS

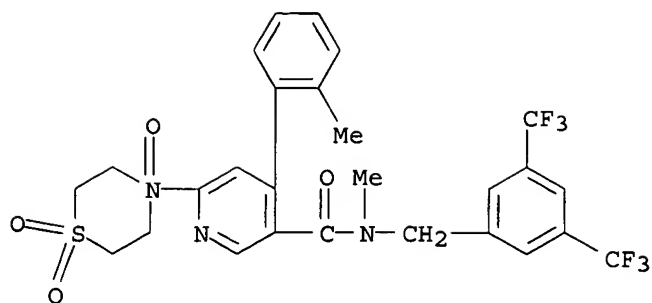
CN 3-Pyridinecarboxamide, 6-[3-(acetylmethylamino)-1-oxido-1-pyrrolidinyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 391674-89-8 CAPLUS

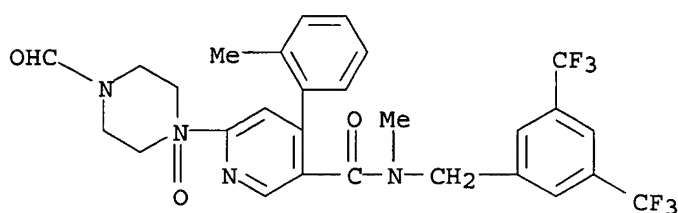
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(1,1,4-trioxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)





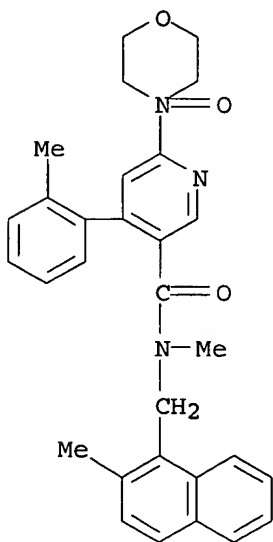
RN 391674-90-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-oxido-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



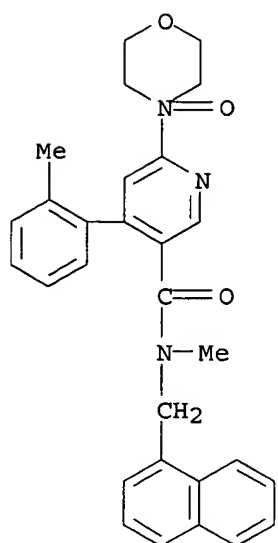
RN 391674-91-2 CAPLUS

CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

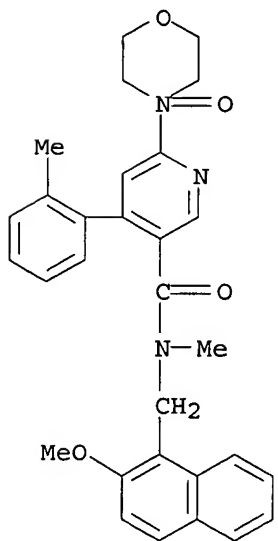


RN 391674-92-3 CAPLUS

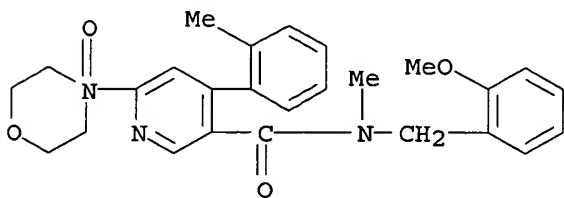
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(1-naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



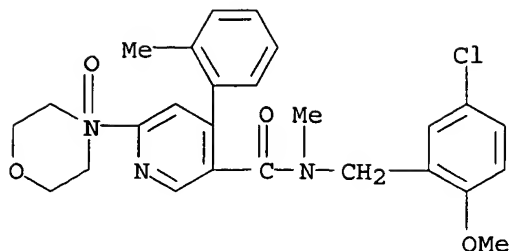
RN 391674-93-4 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[(2-methoxy-1-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



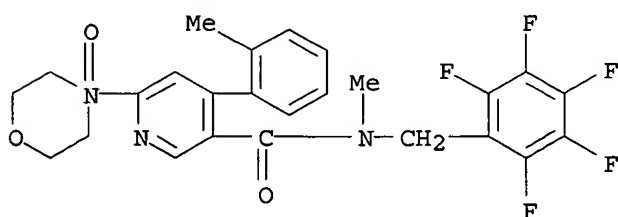
RN 391674-94-5 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



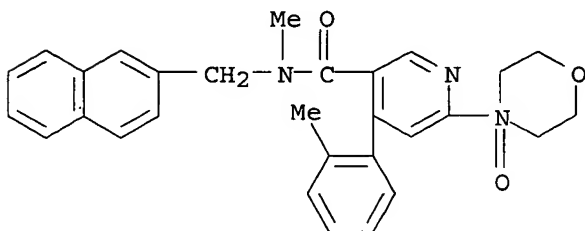
RN 391674-95-6 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[(5-chloro-2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



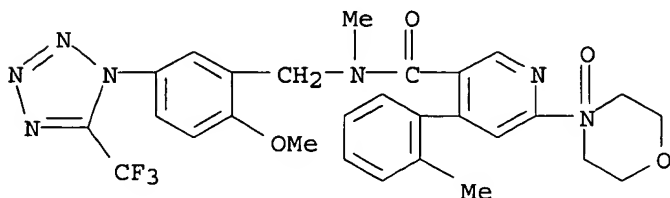
RN 391674-97-8 CAPLUS  
 CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-N-[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)



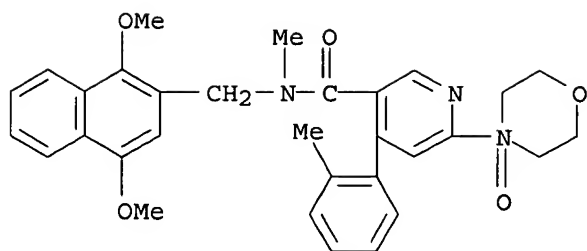
RN 391674-98-9 CAPLUS  
 CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(2-naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 391674-99-0 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

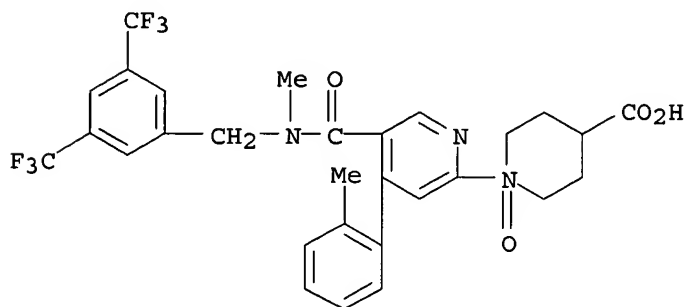


RN 391675-00-6 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[(1,4-dimethoxy-2-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



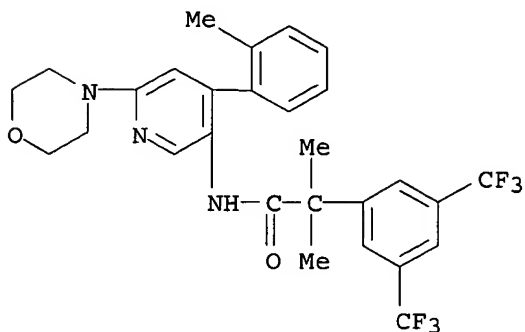
RN 391675-01-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1-oxide (9CI)  
(CA INDEX NAME)



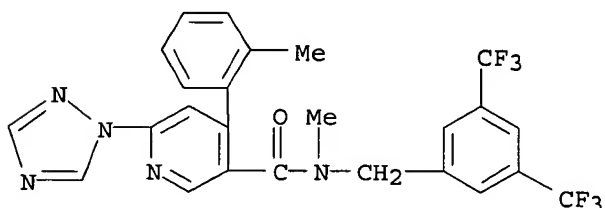
RN 391675-02-8 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



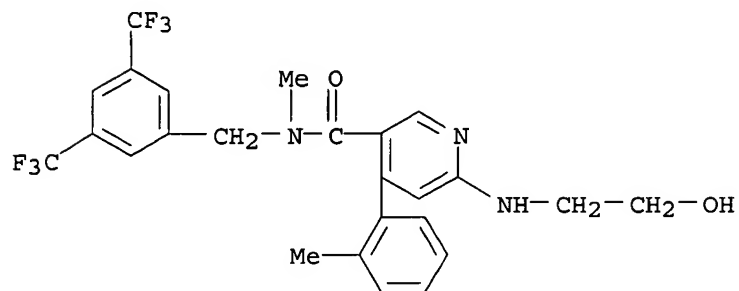
RN 393508-70-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

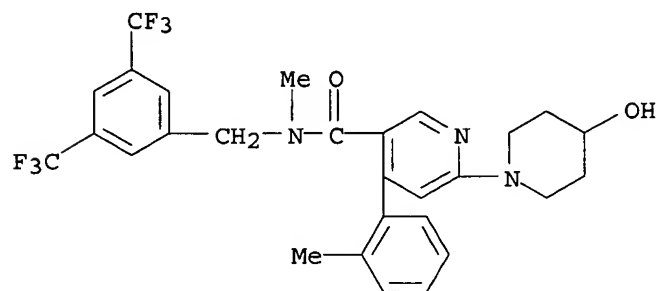




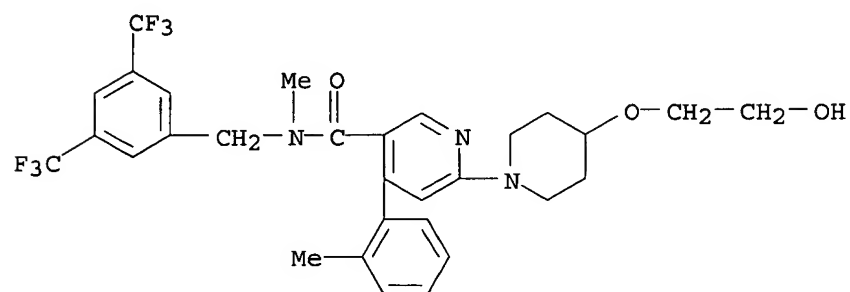
RN 393508-71-9 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(2-hydroxyethyl)amino]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 393508-72-0 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-hydroxy-1-piperidinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

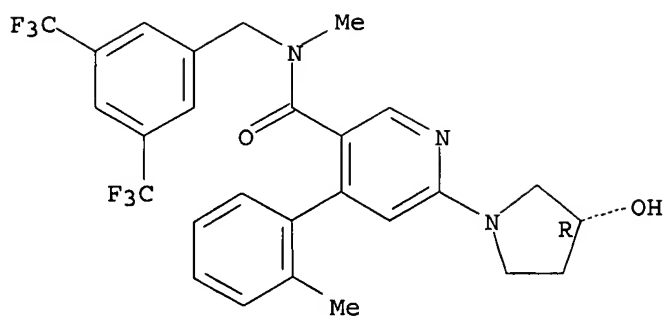


RN 393508-73-1 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2-hydroxyethoxy)-1-piperidinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

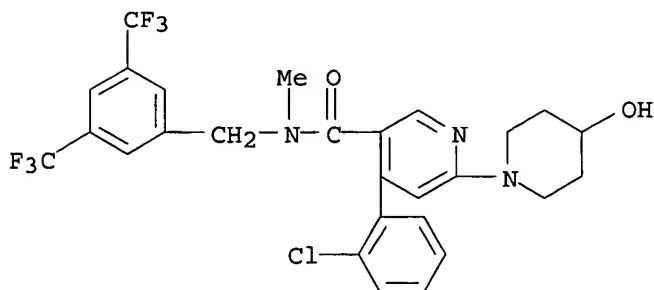


RN 393508-74-2 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(3R)-3-hydroxy-1-pyrrolidinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

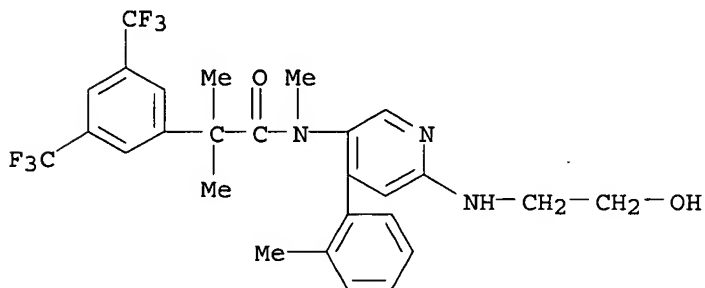
Absolute stereochemistry.



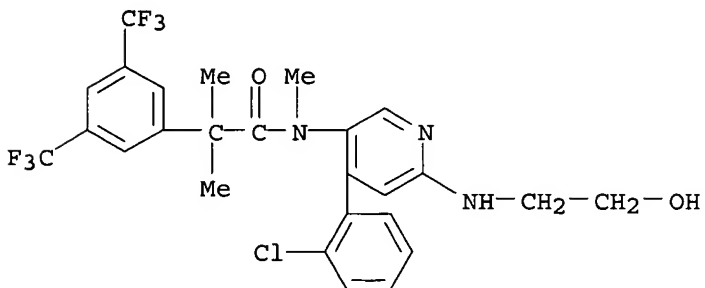
RN 393508-75-3 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-6-(4-hydroxy-1-piperidiny)-N-methyl- (9CI) (CA INDEX NAME)

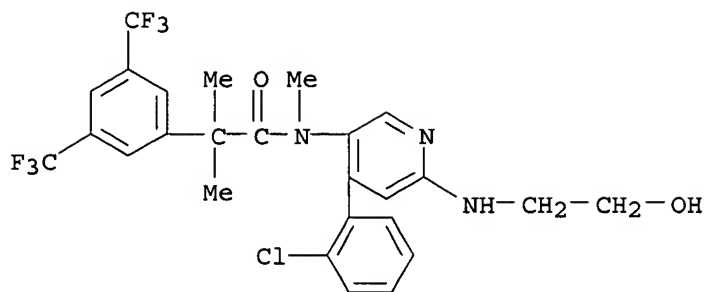


RN 393508-76-4 CAPLUS  
 CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



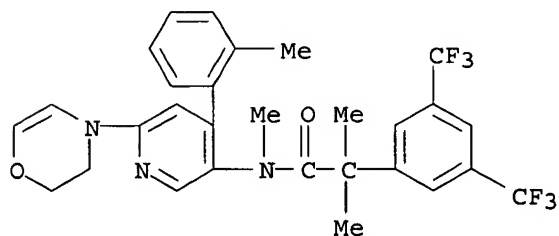
RN 393508-77-5 CAPLUS  
 CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-[(2-hydroxyethyl)amino]-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)





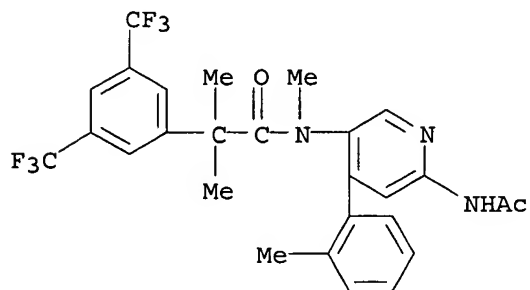
RN 393508-78-6 CAPLUS

CN Benzeneacetamide, N-[6-(2,3-dihydro-4H-1,4-oxazin-4-yl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



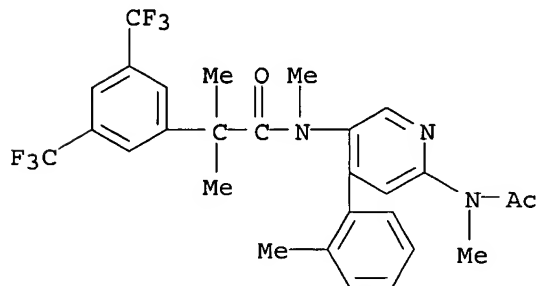
RN 393508-79-7 CAPLUS

CN Benzeneacetamide, N-[6-(acetylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

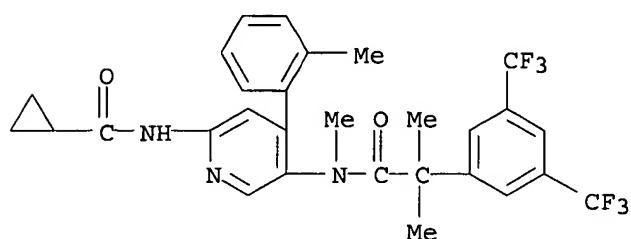


RN 393508-80-0 CAPLUS

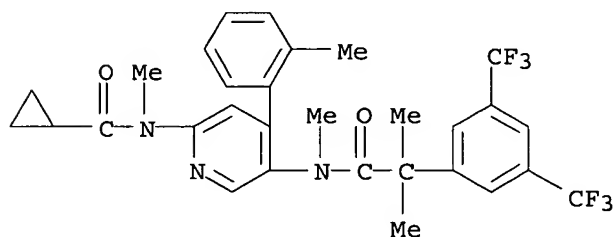
CN Benzeneacetamide, N-[6-(acetylmethylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



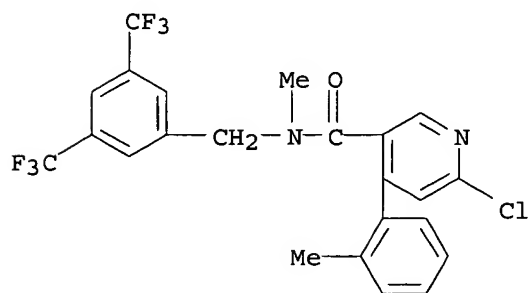
RN 393508-81-1 CAPLUS  
 CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



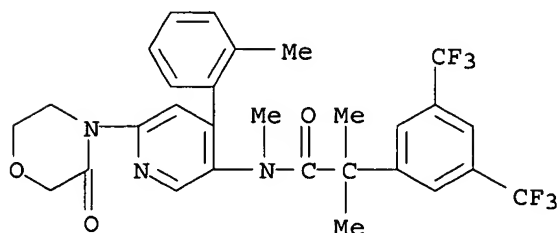
RN 393508-82-2 CAPLUS  
 CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



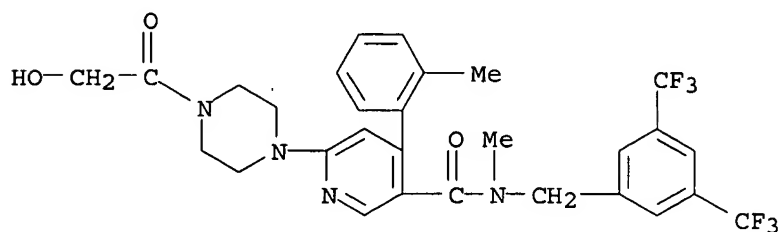
RN 393508-84-4 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl)methyl]-6-chloro-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



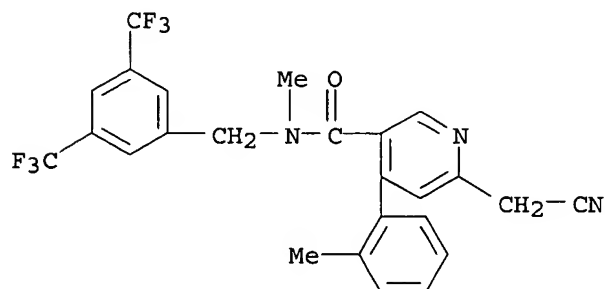
RN 393508-91-3 CAPLUS  
 CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(3-oxo-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



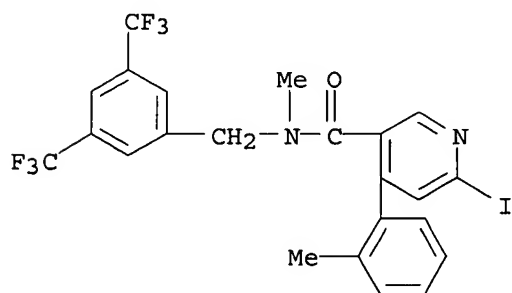
RN 401891-32-5 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(hydroxyacetyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



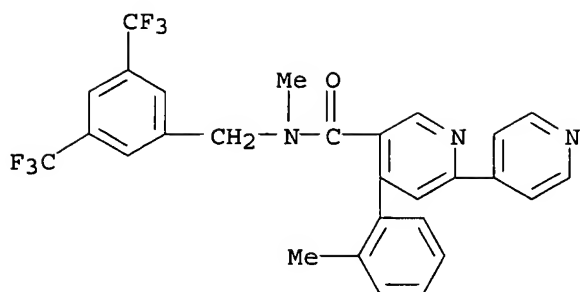
RN 401891-33-6 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(cyanomethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



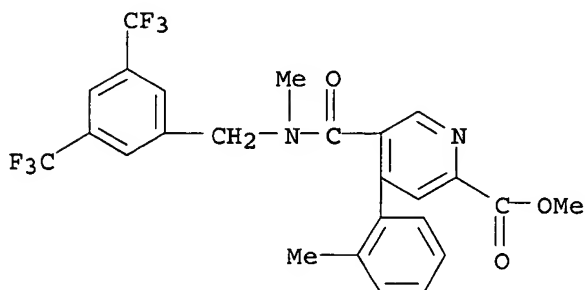
RN 401891-34-7 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-iodo-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



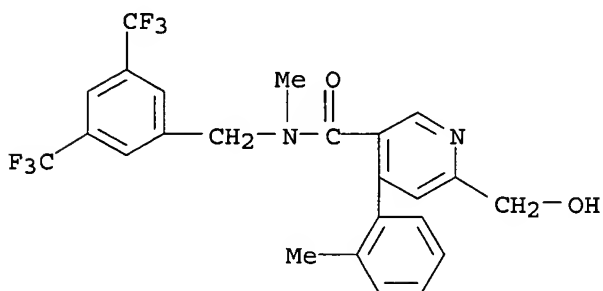
RN 401891-35-8 CAPLUS  
 CN [2,4'-Bipyridine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



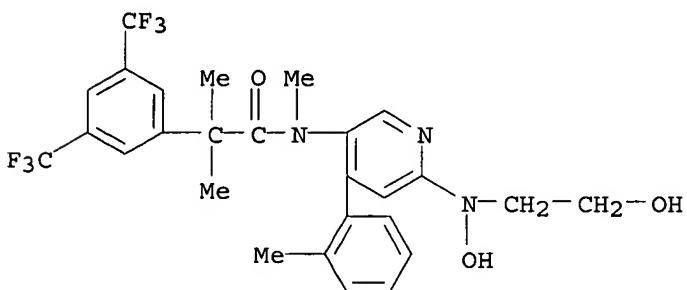
RN 401891-36-9 CAPLUS  
 CN 2-Pyridinecarboxylic acid, 5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-, methyl ester (9CI) (CA INDEX NAME)



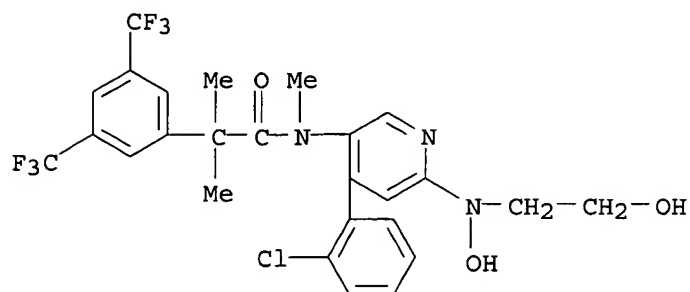
RN 401891-37-0 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(hydroxymethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



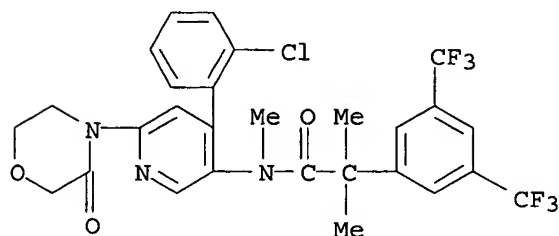
RN 401891-38-1 CAPLUS  
 CN Benzeneacetamide, N-[6-[hydroxy(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



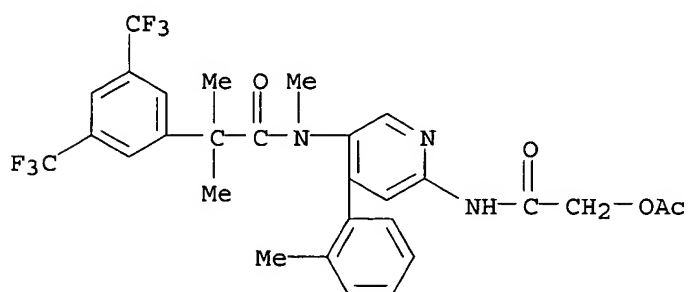
RN 401891-39-2 CAPLUS  
 CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-[hydroxy(2-hydroxyethyl)amino]-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



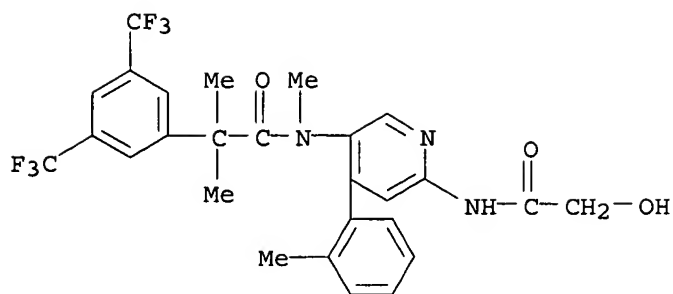
RN 401891-40-5 CAPLUS  
 CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(3-oxo-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



RN 401891-41-6 CAPLUS  
 CN Benzeneacetamide, N-[6-[[ (acetyloxy)acetyl]amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)

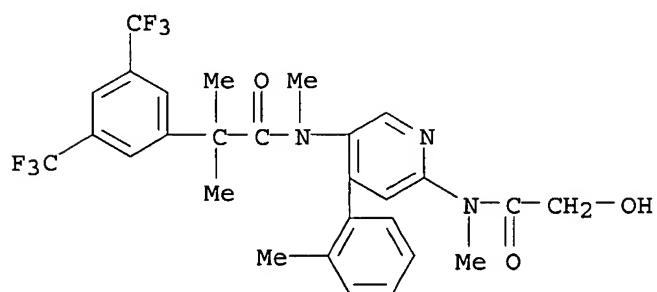


RN 401891-42-7 CAPLUS  
 CN Benzeneacetamide, N-[6-[(hydroxyacetyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



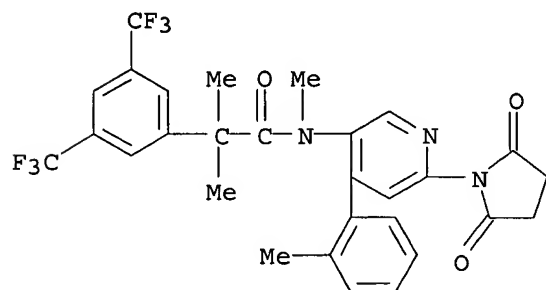
RN 401891-43-8 CAPLUS

CN Benzeneacetamide, N-[6-[(hydroxyacetyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



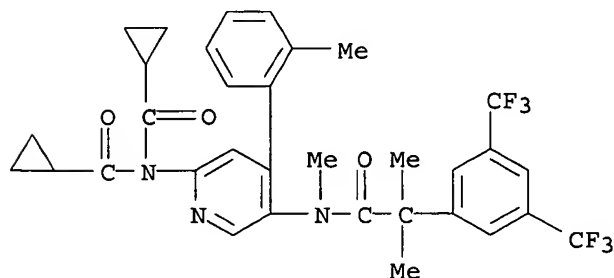
RN 401891-44-9 CAPLUS

CN Benzeneacetamide, N-[6-(2,5-dioxo-1-pyrrolidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

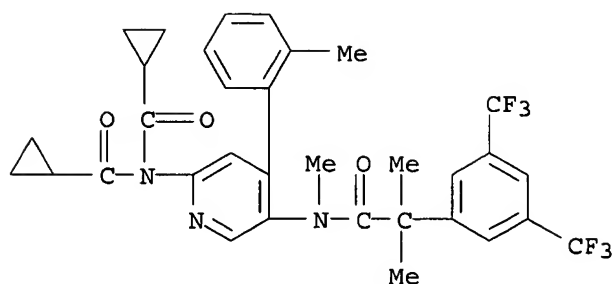


RN 401891-45-0 CAPLUS

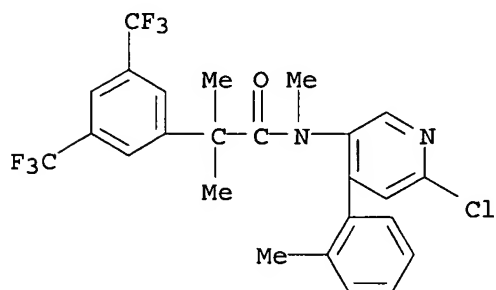
CN Benzeneacetamide, N-[6-[bis(cyclopropylcarbonyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



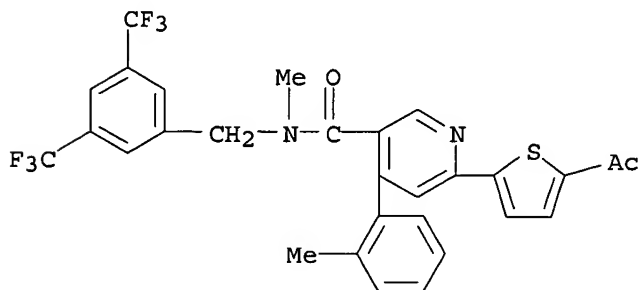




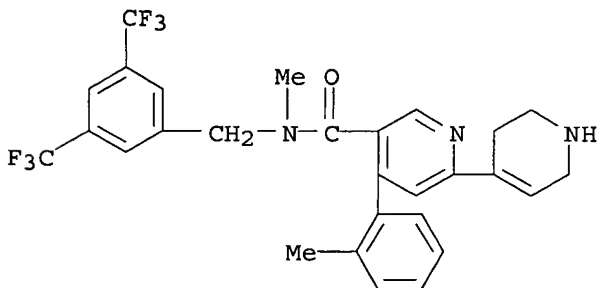
RN 401891-55-2 CAPLUS  
 CN Benzeneacetamide, N-[6-chloro-4-(2-methylphenyl)-3-pyridinyl]-  
 N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
 NAME)

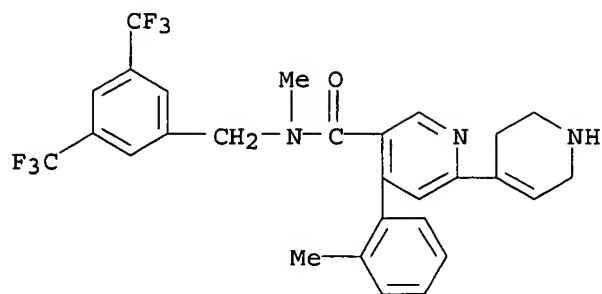


RN 401891-63-2 CAPLUS  
 CN 3-Pyridinecarboxamide, 6-(5-acetyl-2-thienyl)-N-[[3,5-  
 bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA  
 INDEX NAME)

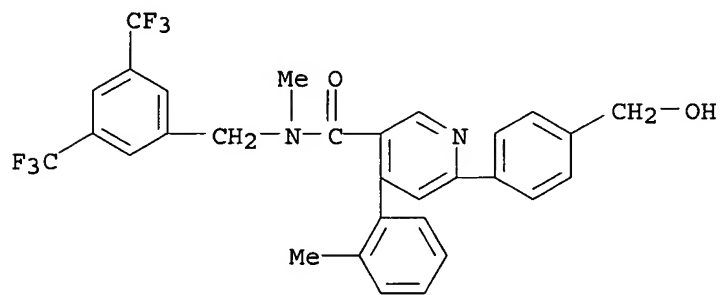


RN 401891-67-6 CAPLUS  
 CN [2,4'-Bipyridine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]  
 ]-1',2',3',6'-tetrahydro-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX  
 NAME)

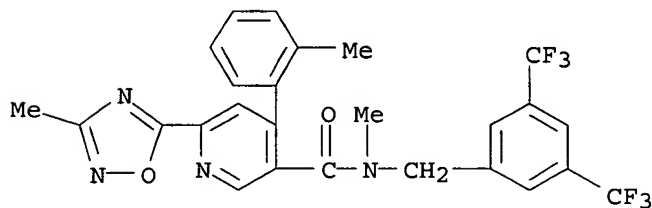




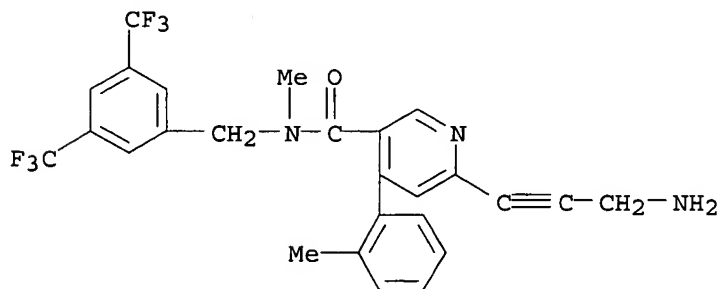
RN 401891-70-1 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(hydroxymethyl)phenyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-87-0 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-6-(3-methyl-1,2,4-oxadiazol-5-yl)-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

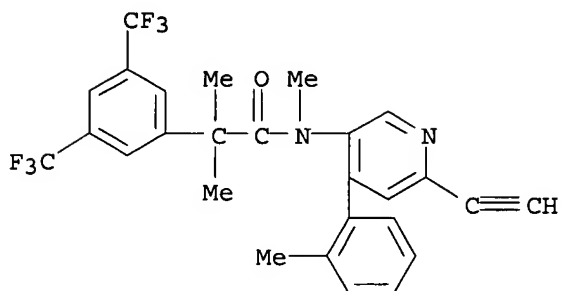


RN 401891-90-5 CAPLUS  
 CN 3-Pyridinecarboxamide, 6-(3-amino-1-propynyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



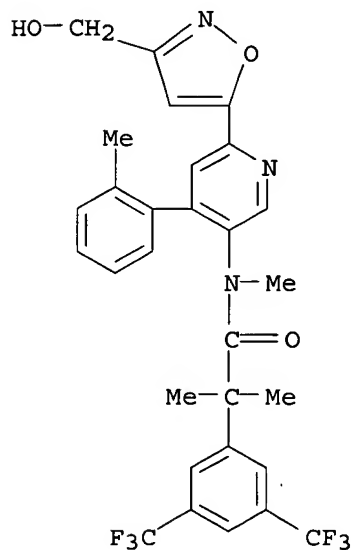
RN 401891-91-6 CAPLUS

CN Benzeneacetamide, N-[6-ethynyl-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



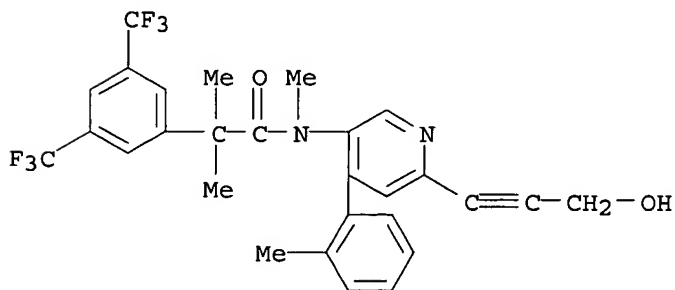
RN 401891-94-9 CAPLUS

CN Benzeneacetamide, N-[6-[3-(hydroxymethyl)-5-isoxazolyl]-4-(2-methylphenyl)-  
3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



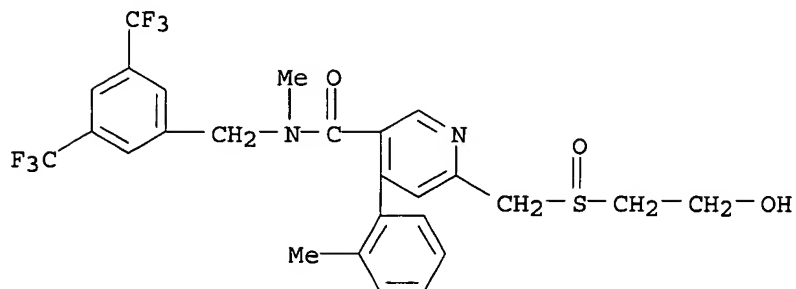
RN 401892-00-0 CAPLUS

CN Benzeneacetamide, N-[6-(3-hydroxy-1-propynyl)-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



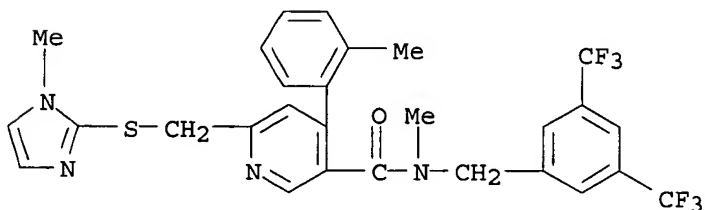
RN 401892-10-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[[(2-hydroxyethyl)sulfinyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



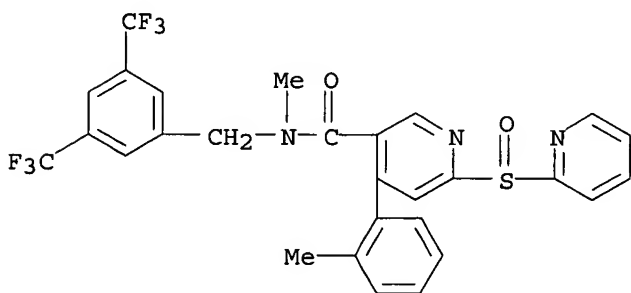
RN 401892-12-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-6-[[[(1-methyl-1H-imidazol-2-yl)thio]methyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



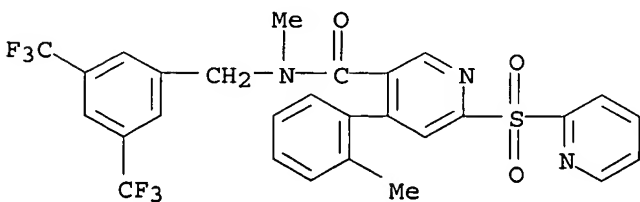
RN 401892-24-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(2-pyridinylsulfinyl)- (9CI) (CA INDEX NAME)

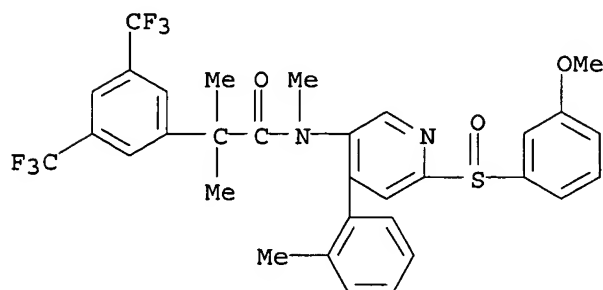


RN 401892-25-9 CAPLUS

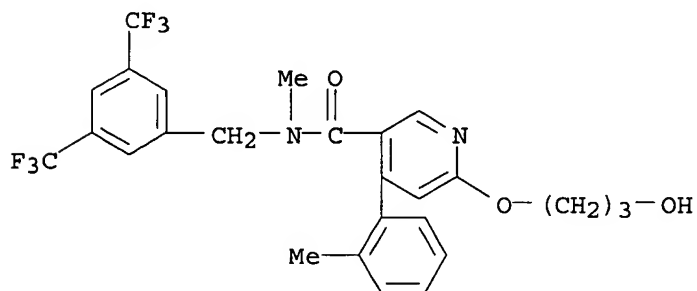
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(2-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)



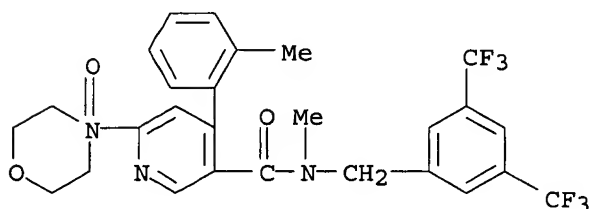
RN 401892-29-3 CAPLUS  
 CN Benzeneacetamide, N-[6-[(3-methoxyphenyl)sulfinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



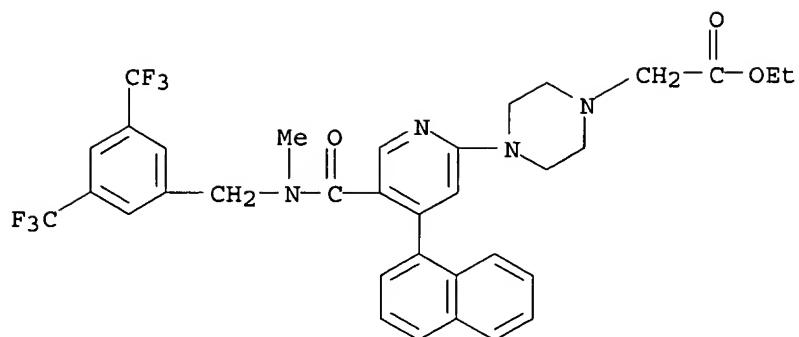
RN 401892-38-4 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-hydroxypropoxy)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-63-5 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

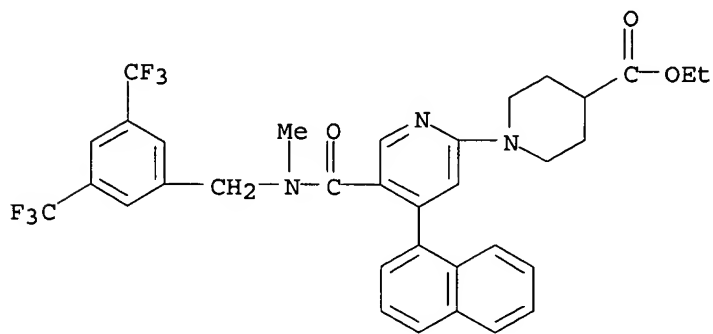


RN 474026-05-6 CAPLUS  
 CN 1-Piperazineacetic acid, 4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(1-naphthalenyl)-2-pyridinyl]-, ethyl ester (9CI)  
 (CA INDEX NAME)



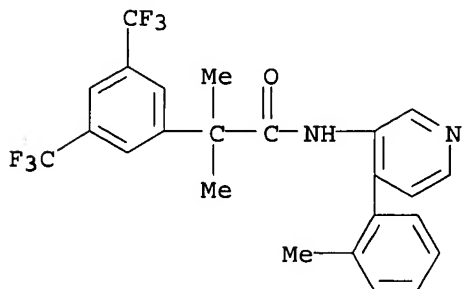
RN 474026-06-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(1-naphthalenyl)-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



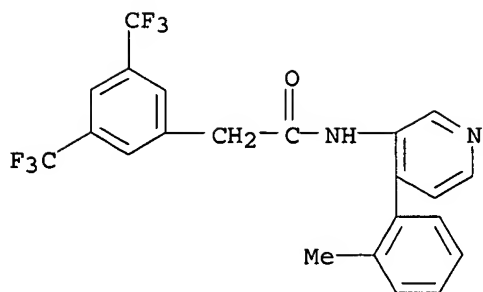
RN 474026-07-8 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



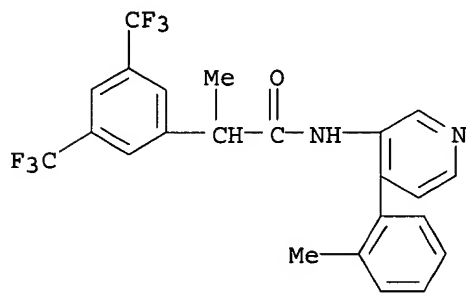
RN 474026-08-9 CAPLUS

CN Benzeneacetamide, N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



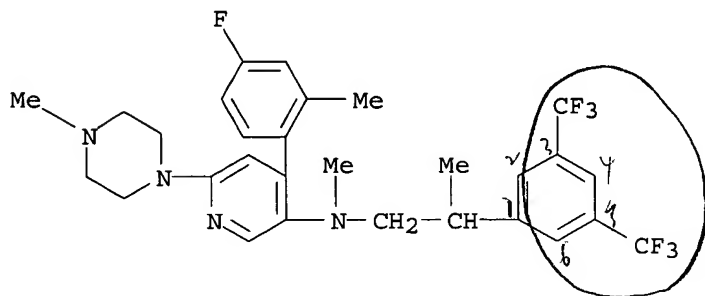
RN 474026-09-0 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



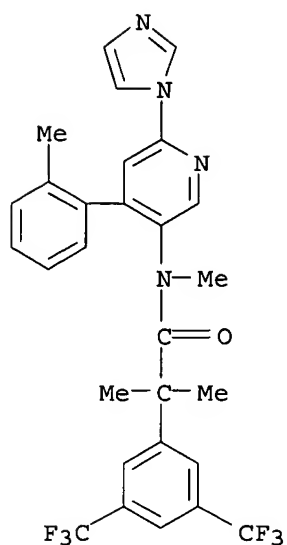
RN 474026-10-3 CAPLUS

CN 3-Pyridinamine, N-[2-[3,5-bis(trifluoromethyl)phenyl]propyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



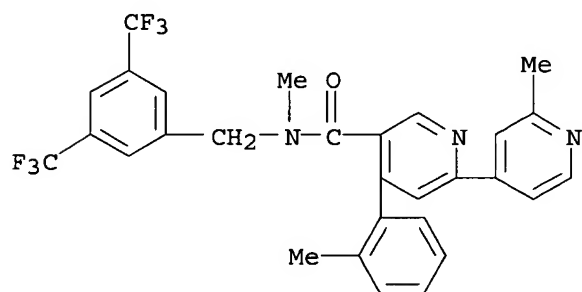
RN 474026-11-4 CAPLUS

CN Benzeneacetamide, N-[6-(1H-imidazol-1-yl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



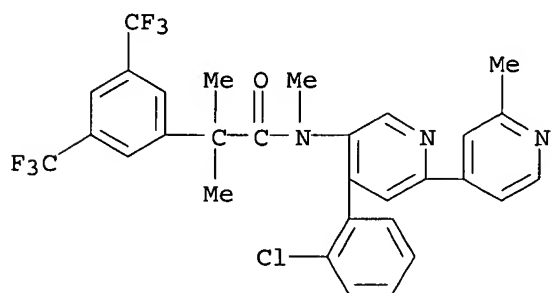
RN 474026-12-5 CAPLUS

CN [2,4'-Bipyridine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N,2'-dimethyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 474026-13-6 CAPLUS

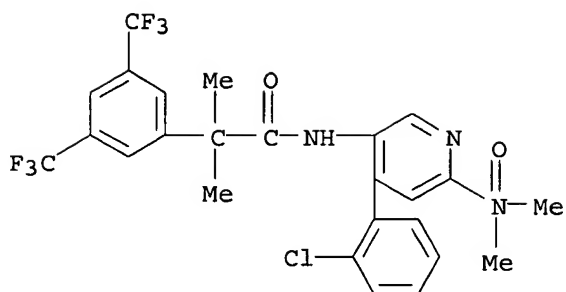
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-2'-methyl[2,4'-bipyridin]-5-yl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474026-14-7 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethyloxidoamino)-3-pyridinyl]-.alpha.,.alpha.-dimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



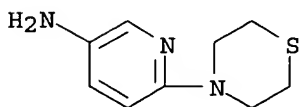


IT 471938-15-5P 474026-15-8P 474026-16-9P  
 474026-17-0P 474026-18-1P 474026-20-5P  
 474026-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

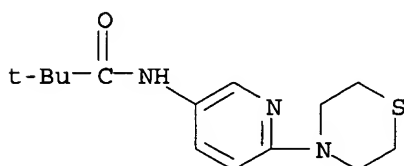
RN 471938-15-5 CAPLUS

CN 3-Pyridinamine, 6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



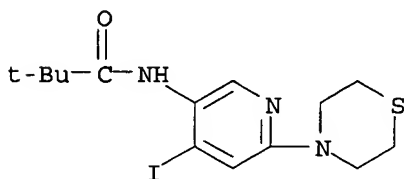
RN 474026-15-8 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[6-(4-thiomorpholinyl)-3-pyridinyl]- (9CI)  
 (CA INDEX NAME)



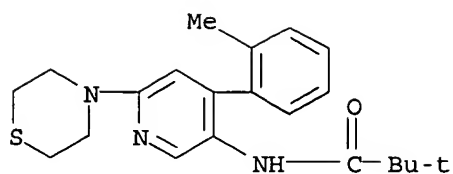
RN 474026-16-9 CAPLUS

CN Propanamide, N-[4-iodo-6-(4-thiomorpholinyl)-3-pyridinyl]-2,2-dimethyl-  
 (9CI) (CA INDEX NAME)



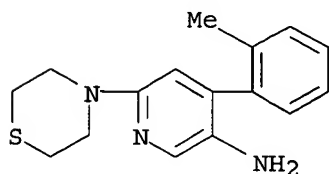
RN 474026-17-0 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[4-(2-methylphenyl)-6-(4-thiomorpholinyl)-3-  
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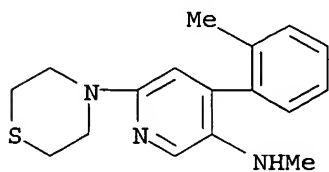
RN 474026-18-1 CAPLUS

CN 3-Pyridinamine, 4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



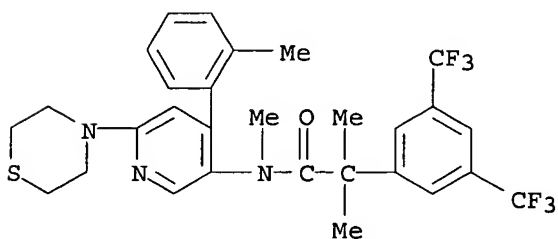
RN 474026-20-5 CAPLUS

CN 3-Pyridinamine, N-methyl-4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



RN 474026-21-6 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-thiomorpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2003:117823 CAPLUS

DOCUMENT NUMBER: 138:170243

TITLE: Preparation of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-methyl or 4-fluoro-2-methyl substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists

INVENTOR(S): Ballard, Theresa Maria; Hoffmann, Torsten; Poli, Sonia Maria; Schnider, Patrick; Sleight, Andrew

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

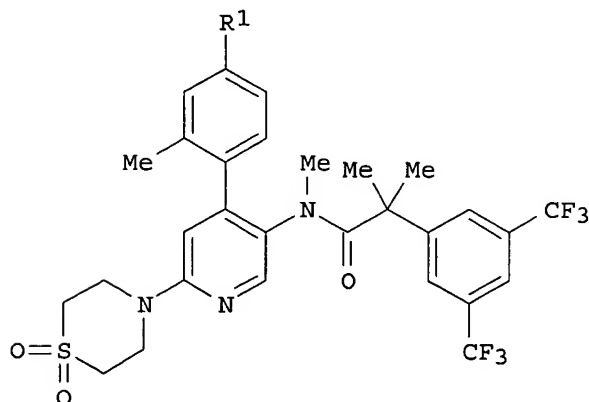
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011860	A2	20030213	WO 2002-EP8311	20020726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003064983	A1	20030403	US 2002-196795	20020717
PRIORITY APPLN. INFO.:		EP 2001-118412	A	20010731
OTHER SOURCE(S):		MARPAT 138:170243		

GI



I

AB The title compds. I [R1 = (H), (F)] which may be used for the treatment of migraine, rheumatoid arthritis, asthma, bronchial hyperreactivity, inflammatory bowel disease or for the treatment of disorders including Parkinson's disease, anxiety, depression, pain, headache, Alzheimer's disease, multiple sclerosis, edema, allergic rhinitis, Crohn's disease, ocular injury, ocular inflammatory diseases, psychosis, motion sickness, induced vomiting, emesis, urinary incontinence, psychoimmunol. or psychosomatic disorders, cancer, withdrawal symptoms of addictive drugs from opiates or nicotine, traumatic brain injury or benign prostatic hyperplasia, were prep'd. and formulated. E.g., a 8-step synthesis of I

[R1 = H] (starting with 2-chloro-5-nitropyridine and thiomorpholine) which showed pKi of 8.9 for the human NK1 receptor, was given.

- IT Intestine, disease  
(Crohn's, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Tachykinin receptors  
(NK1 antagonists; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Nose, disease  
(allergic rhinitis, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Prostate gland, disease  
(benign hyperplasia, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Mental disorder  
(depression, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Bronchi, disease  
(hypersensitivity, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Bladder, disease  
(incontinence, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Eye, disease  
(inflammation, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Intestine, disease  
(inflammatory, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Headache  
(migraine, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)
- IT Allergy inhibitors
- Analgesics
- Anti-Alzheimer's agents
- Anti-inflammatory agents
- Antiasthmatics
- Antidepressants
- Antiemetics
- Antimigraine agents
- Antiparkinsonian agents
- Antipsychotics
- Antirheumatic agents
- Antitumor agents

Anxiolytics

Human

(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT Mental disorder

(psychosis, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT Brain, disease

(trauma, treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT Vomiting

(treatment of induced vomiting; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT Eye, disease

(treatment of ocular injury; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT Drug dependence

(treatment of withdrawal symptoms of addictive drugs; prepn. of 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(o-tolyl)pyrid-3-yl]-N-Me-isobutyramide as selective NK1 antagonists)

IT Alzheimer's disease

Anxiety

Asthma

Edema

Headache

Motion sickness

Multiple sclerosis

Neoplasm

Pain

Parkinson's disease

Rheumatoid arthritis

(treatment of; prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT 474026-03-4P 474026-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT 123-90-0, Thiomorpholine 4548-45-2, 2-Chloro-5-nitropyridine

16419-60-6, o-Tolylboronic acid 139911-29-8, 4-Fluoro-2-

methylphenylboronic acid 289686-69-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

IT 219140-38-2P, 4-(5-Nitropyridin-2-yl)-thiomorpholine 471938-15-5P

474026-15-8P 474026-16-9P 474026-17-0P

474026-18-1P 474026-20-5P 474026-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

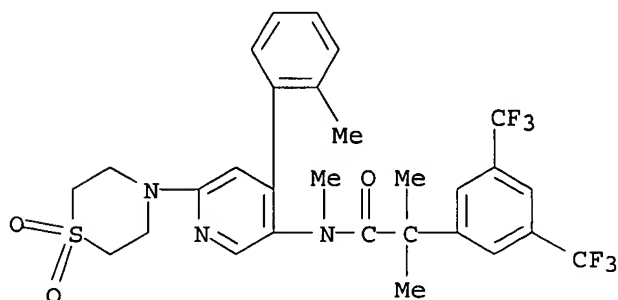
IT 474026-03-4P 474026-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

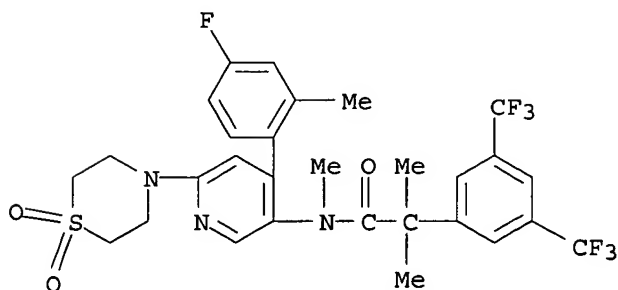
RN 474026-03-4 CAPLUS

CN Benzeneacetamide, N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474026-04-5 CAPLUS

CN Benzeneacetamide, N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(4-fluoro-2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 471938-15-5P 474026-15-8P 474026-16-9P

474026-17-0P 474026-18-1P 474026-20-5P

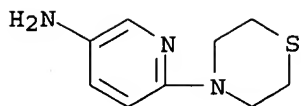
474026-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

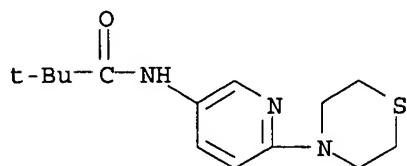
(prepn. of 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.lambda.6-thiomorpholin-4-yl)-4-(2-Me or 4-fluoro-2-Me substituted)phenyl-pyridin-3-yl]-N-methyl-isobutyramide as selective NK1 antagonists)

RN 471938-15-5 CAPLUS

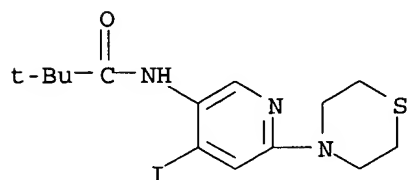
CN 3-Pyridinamine, 6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



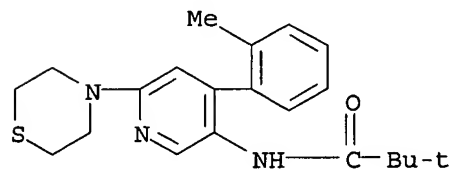
RN 474026-15-8 CAPLUS  
 CN Propanamide, 2,2-dimethyl-N-[6-(4-thiomorpholinyl)-3-pyridinyl]- (9CI)  
 (CA INDEX NAME)



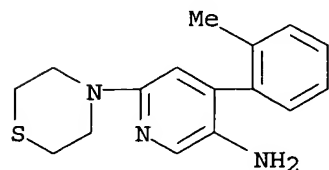
RN 474026-16-9 CAPLUS  
 CN Propanamide, N-[4-iodo-6-(4-thiomorpholinyl)-3-pyridinyl]-2,2-dimethyl-  
 (9CI) (CA INDEX NAME)



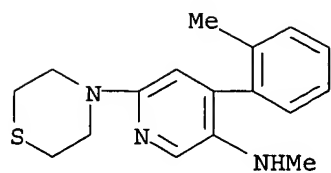
RN 474026-17-0 CAPLUS  
 CN Propanamide, 2,2-dimethyl-N-[4-(2-methylphenyl)-6-(4-thiomorpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



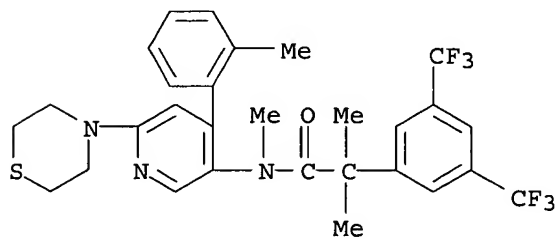
RN 474026-18-1 CAPLUS  
 CN 3-Pyridinamine, 4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



RN 474026-20-5 CAPLUS  
 CN 3-Pyridinamine, N-methyl-4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI)  
 (CA INDEX NAME)



RN 474026-21-6 CAPLUS  
 CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-thiomorpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



=>



393508-91-3 401891-32-5 401891-33-6  
 401891-34-7 401891-35-8 401891-36-9  
 401891-37-0 401891-38-1 401891-39-2  
 401891-40-5 401891-41-6 401891-42-7  
 401891-43-8 401891-44-9 401891-45-0  
 401891-55-2 401891-63-2 401891-67-6  
 401891-70-1 401891-87-0 401891-90-5  
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 401892-25-9 401892-29-3 401892-38-4  
 401892-63-5 474026-05-6 474026-06-7  
 474026-07-8 474026-08-9 474026-09-0  
 474026-10-3 474026-11-4 474026-12-5  
 474026-13-6 474026-14-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

IT 123-90-0, Thiomorpholine 3282-30-2, Pivaloyl chloride 4548-45-2,  
 2-Chloro-5-nitropyridine 16419-60-6, o-Tolylboronic acid 139911-29-8,  
 4-Fluoro-2-methylphenylboronic acid 289686-69-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

IT 219140-38-2P 471938-15-5P 474026-15-8P  
 474026-16-9P 474026-17-0P 474026-18-1P  
 474026-20-5P 474026-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

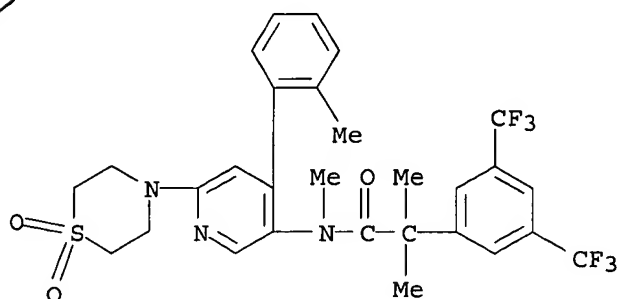
IT 474026-03-4P 474026-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

RN 474026-03-4 CAPLUS

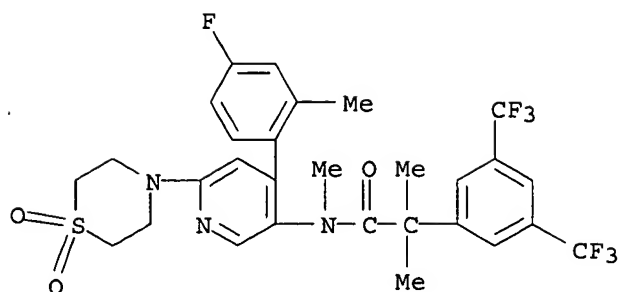
CN Benzeneacetamide, N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(2-methylphenyl)-  
 3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



RN 474026-04-5 CAPLUS

CN Benzeneacetamide, N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(4-fluoro-2-  
 methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-  
 bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

1, 2, 3, 6, 11, 13, 20, 22-24.



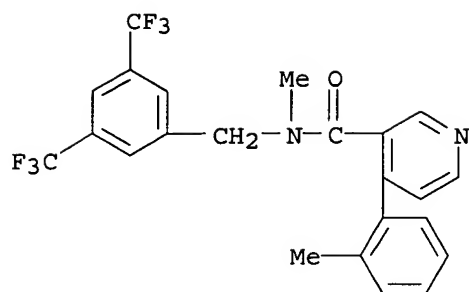
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 290296-51-4 290296-59-2 290296-66-1  
 290296-67-2 290296-68-3 290296-71-8  
 290296-72-9 290296-73-0 290296-74-1  
 290296-75-2 290296-86-5 290296-87-6  
 290296-88-7 290296-89-8 290296-90-1  
 290296-91-2 290296-92-3 290296-93-4  
 290296-94-5 290296-95-6 290296-96-7  
 290296-98-9 290296-99-0 290297-00-6  
 290297-01-7 290297-06-2 290297-18-6  
 290297-26-6 290297-30-2 290297-57-3  
 290297-58-4 290297-59-5 290297-61-9  
 290297-62-0 290297-65-3 351383-26-1, GR 103537  
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 391674-76-3 391674-77-4 391674-79-6  
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 474026-11-4 474026-12-5 474026-13-6  
 474026-14-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

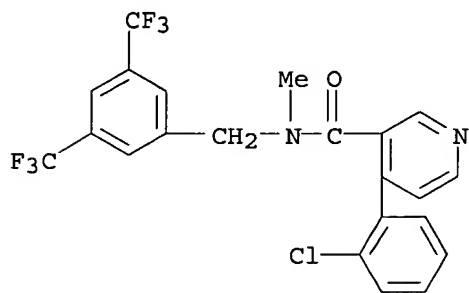
(prepn. and use of amides as NK-1 receptor antagonists against benign  
 prostatic hyperplasia)

RN 290296-41-2 CAPLUS

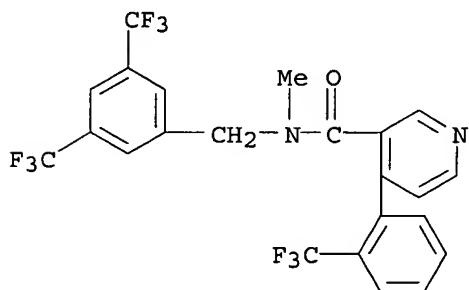
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



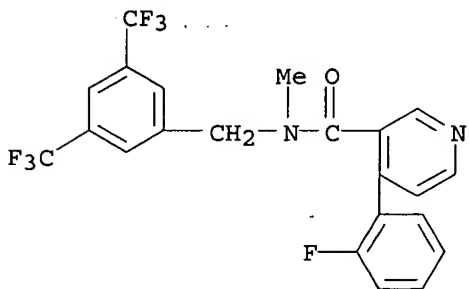
RN 290296-42-3 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 290296-43-4 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

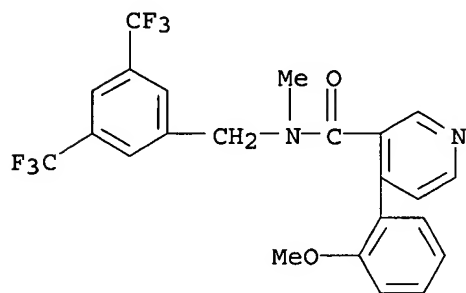


RN 290296-44-5 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)



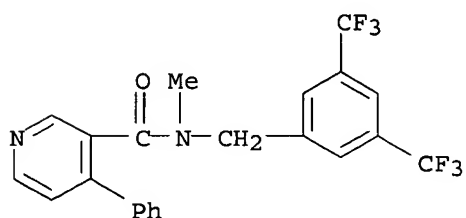
RN 290296-45-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



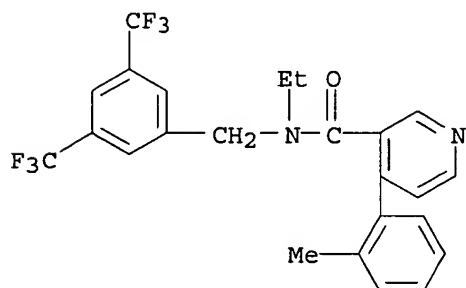
RN 290296-46-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)



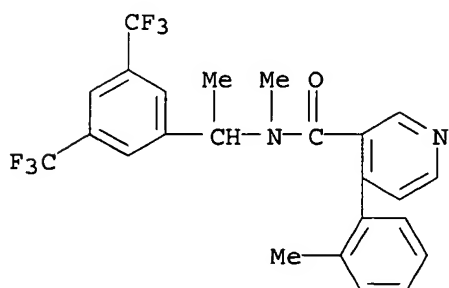
RN 290296-47-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-ethyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



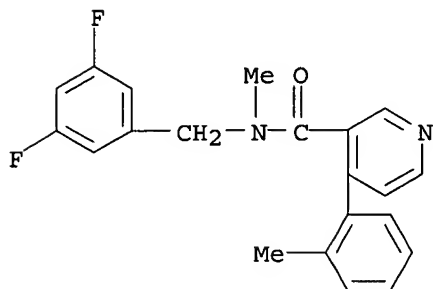
RN 290296-49-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



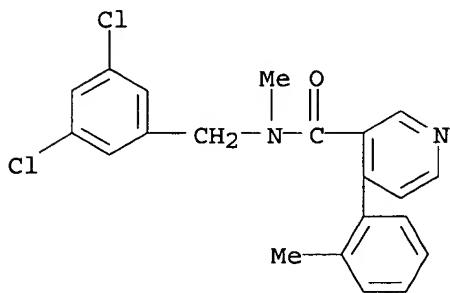
RN 290296-50-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[(3,5-difluorophenyl)methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



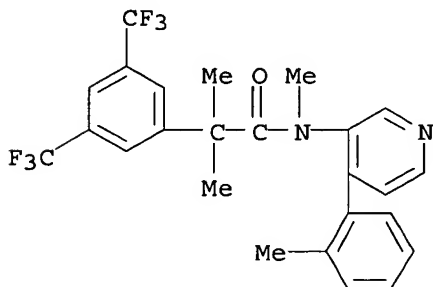
RN 290296-51-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



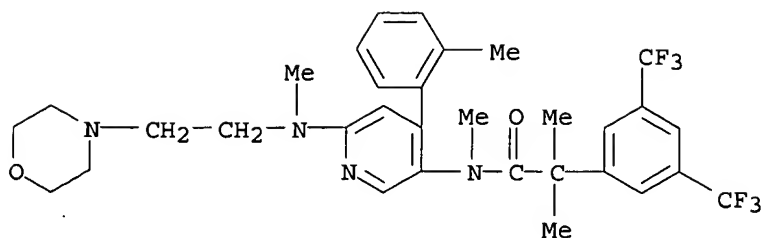
RN 290296-59-2 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



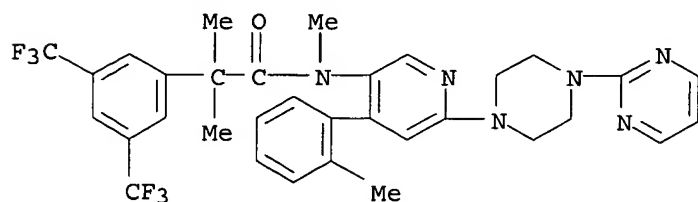
RN 290296-66-1 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



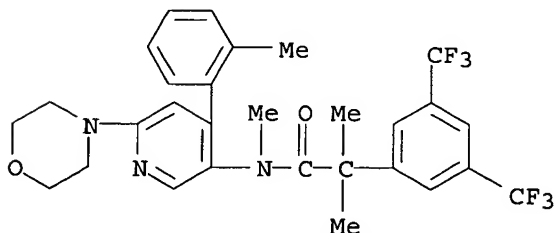
RN 290296-67-2 CAPLUS

CN Benzeneacetamide, N, .alpha., .alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[4-(2-pyrimidinyl)-1-piperazinyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



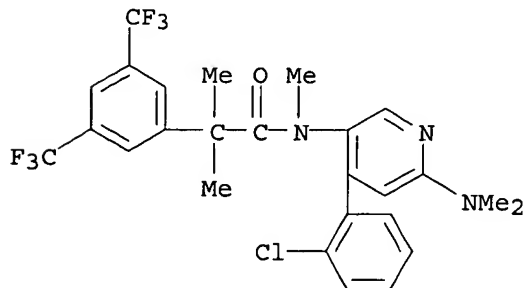
RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N, .alpha., .alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



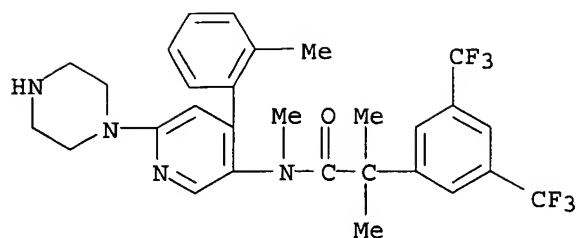
RN 290296-71-8 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethylamino)-3-pyridinyl]-N, .alpha., .alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



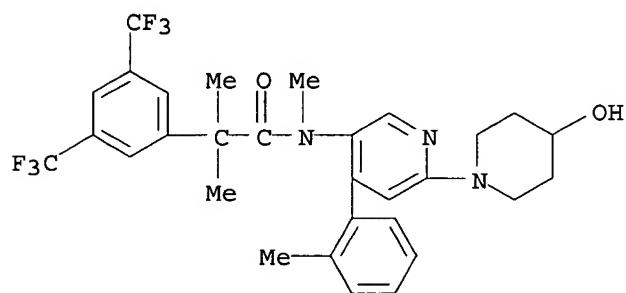
RN 290296-72-9 CAPLUS

CN Benzeneacetamide, N, .alpha., .alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-73-0 CAPLUS

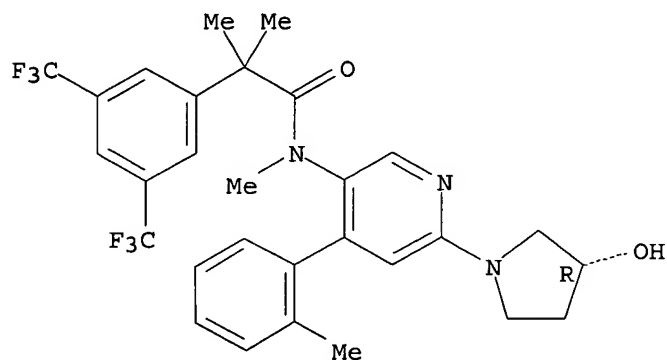
CN Benzeneacetamide, N-[6-(4-hydroxy-1-piperidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 290296-74-1 CAPLUS

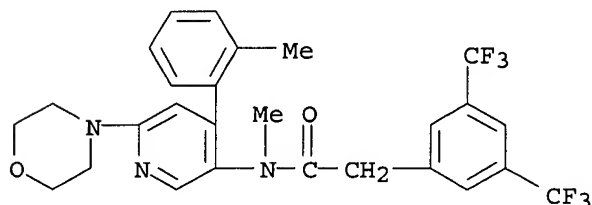
CN Benzeneacetamide, N-[6-[(3R)-3-hydroxy-1-pyrrolidinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

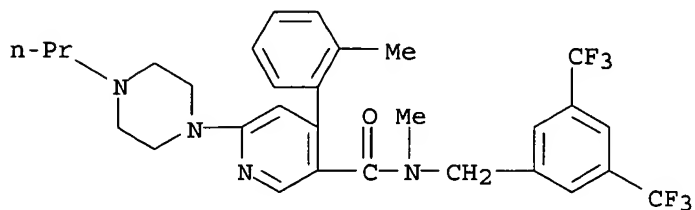


RN 290296-75-2 CAPLUS

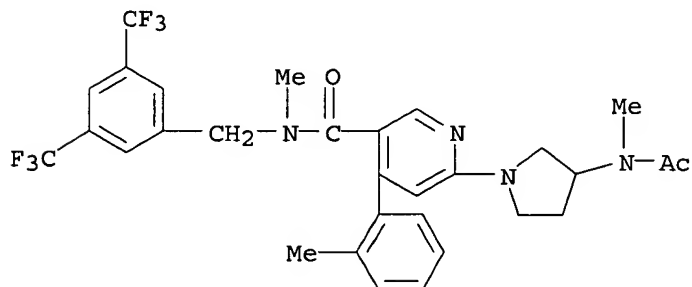
CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



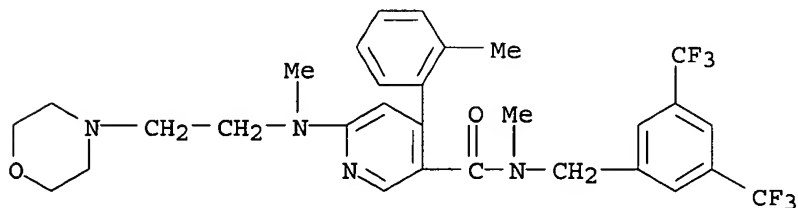
RN 290296-86-5 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



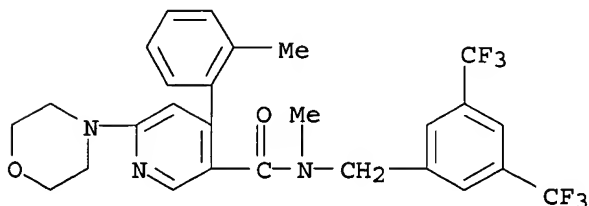
RN 290296-87-6 CAPLUS  
 CN 3-Pyridinecarboxamide, 6-[3-(acetylmethylamino)-1-pyrrolidinyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290296-88-7 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290296-89-8 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)





(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)

IT 66619-84-9, WIN-41908 91224-37-2, Spantide  
125989-12-0, L-659877 126088-92-4, R 544  
129176-97-2, Spantide II 129623-01-4, GR 82334  
132041-95-3, R486 132746-60-2, CP-96345  
135911-02-3, RP-67580 136982-36-0, CP-99994  
137012-28-3, L 668169 138449-07-7, FK 888  
141636-65-9, GR 94800 142001-63-6, SR-48968  
144177-32-2, WIN-62577 144425-84-3, L 703606  
145742-28-5, CP-122721 148451-96-1, L 732138  
148700-85-0, L 733060 150705-88-7, CGP49823  
153438-49-4, RPR 100893 154427-06-2, Spantide III  
155418-05-6, SR 140333 157351-81-0, MEN 10627  
158991-23-2, PD 154075 159706-39-5, L 742694  
168266-90-8, GR205171 168398-02-5, GR203040  
170566-51-5, LY 303241 170566-84-4, LY 303870  
170567-08-5, LY 306740 170729-80-3, MK-869  
172673-20-0, L 758298 173050-51-6, SR-142801  
173481-41-9, CGP 47899 174635-69-9, SB222200  
177707-12-9, NKP608 183673-27-0, HSP-117  
201152-86-5, SR 144190 206052-25-7, MEN 11149  
214487-46-4, MEN 11467 240436-53-7, MK 608